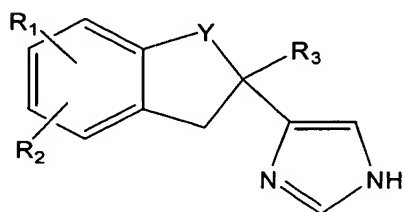


Claims

1. A process for preparing substituted imidazole derivatives of formula (I) and acid addition salts thereof

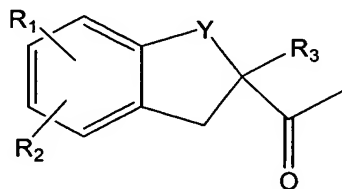


5

(I)

in which formula Y is $-\text{CH}_2-$ or $-\text{CO}-$, R_1 is H, halogen or hydroxy, R_2 is H or halogen and R_3 is H or lower alkyl, comprising the steps of

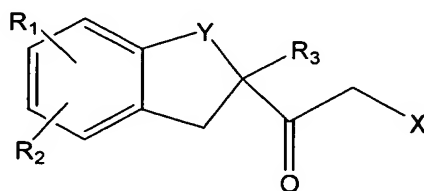
10 a) halogenating a compound of formula (II)



(II)

wherein Y, R_1 , R_2 and R_3 are as defined above, to obtain a compound of

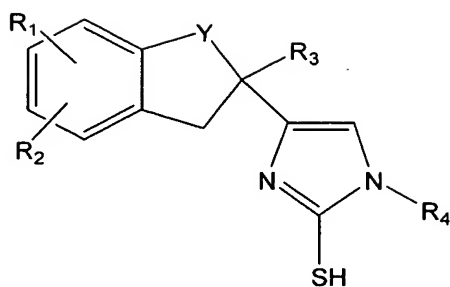
15 formula (III)



(III)

wherein Y, R_1 , R_2 and R_3 are as defined above and X is halogen,

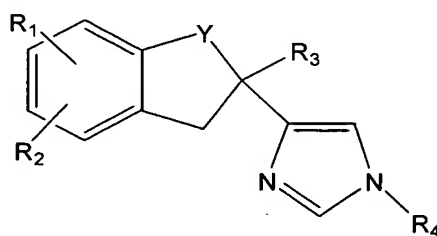
20 b) reacting the compound of formula (III) thus obtained with an amine of formula R_4NH_2 , wherein R_4 is an easily removable leaving group, and an alkali metal thiocyanate, to obtain a compound of formula (IV)



(IV)

wherein Y, R₁, R₂, R₃ and R₄ are as defined above,

- c) removing the mercapto group from the compound of formula (IV) to obtain a compound of formula (V)



(V)

wherein Y, R₁, R₂, R₃ and R₄ are as defined above,

- d) removing the group R₄ from the compound of formula (V) to obtain a compound of formula (I), and, if desired,
e) converting the resulting compound of formula (I) into an acid addition salt thereof.

2. A process according to claim 1 wherein step a) is carried by reacting a compound of formula (II) with Br₂ in methanol at a temperature of - 8 to +25 °C.

3. A process according to claim 1 or 2 wherein step b) is carried out by reacting a compound of formula (III) with benzylamine and potassium thiocyanate.

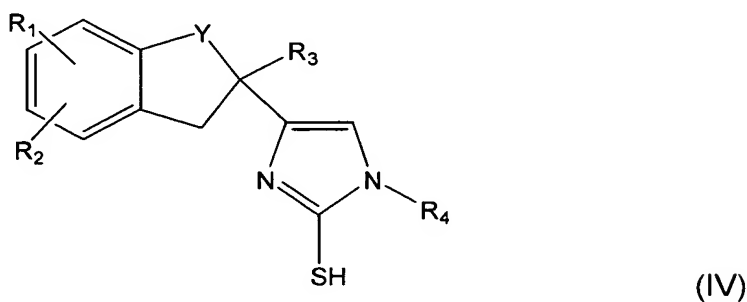
4. A process according to any of claims 1 to 3 wherein step c) is carried out in the presence of Raney-Nickel at a temperature of 40 °C to 90 °C.

5. A process according to any of claims 1 to 4 wherein step d) is carried out by using ammonium formate in the presence of Pd/C.

6. A process according to any of claims 1 to 4 wherein step d) is carried out by hydrogenation in the presence of Pd/C.

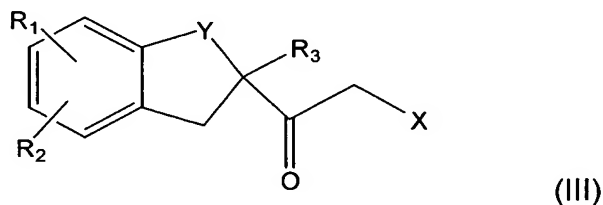
7. A process according to any of claims 1 to 6 wherein Y is $-\text{CH}_2-$,
5 R_1 is F, R_2 is H and R_3 is ethyl.

8. A process for preparing a compound of formula (IV)



10

wherein Y is $-\text{CH}_2-$ or $-\text{CO}-$, R_1 is H, halogen or hydroxy, R_2 is H or halogen and R_3 is H or lower alkyl, comprising reacting a compound of formula (III)



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wherein Y, R_1 , R_2 and R_3 are as defined above and X is halogen, with an amine of formula R_4NH_2 , wherein R_4 is an easily removable leaving group, and an alkali metal thiocyanate.

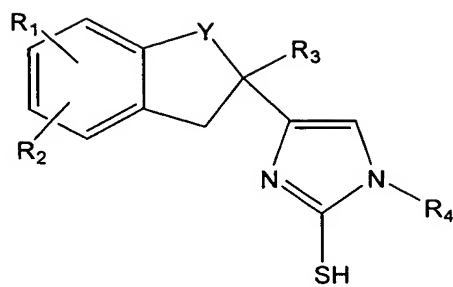
20

9. A process according to claim 8 comprising reacting a compound of formula (III) with benzylamine and potassium thiocyanate.

10. A process according to claim 8 or 9 wherein Y is $-\text{CH}_2-$, R_1 is F, R_2 is H and R_3 is ethyl.

25

11. A compound of formula (IV)



(IV)

wherein Y is $-CH_2-$ or $-CO-$, R_1 is halogen or hydroxy, R_2 is H or halogen, R_3 is H or lower alkyl and R_4 is an easily removable leaving group.

5

12. A compound according to claim 11 wherein Y is $-CH_2-$, R_1 is F, R_2 is H, R_3 is ethyl and R_4 is benzyl.